=> file caplus
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FILE COVERS 1907 - 3 Jun 2004 VOL 140 ISS 23
FILE LAST UPDATED: 2 Jun 2004 (20040602/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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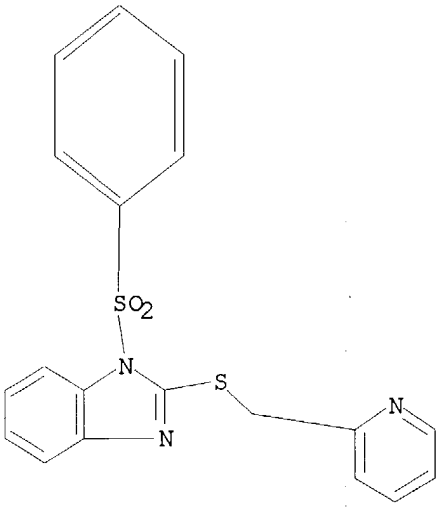
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 250 SEA FILE=REGISTRY SSS FUL L1
L4 4 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:80681 CAPLUS
DOCUMENT NUMBER: 140:146138
TITLE: Preparation of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aqueous solubility and bioavailability for use as anti-ulcer agents

INVENTOR(S): Garst, Michael E.; Sachs, George; Shin, Jai Moo
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009583	A2	20040129	WO 2003-US22419	20030715
WO 2004009583	A3	20040318		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004102484	A1	20040527	US 2003-620252	20030715

PRIORITY APPLN. INFO.: US 2002-397459P P 20020719
OTHER SOURCE(S): MARPAT 140:146138
GI

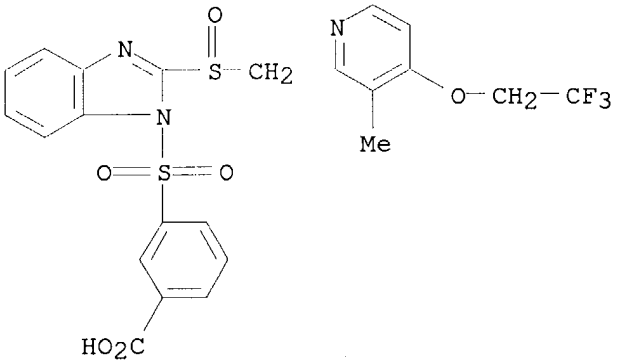
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides (shown as I-IV or isomers of II and III where the OCH3, and HF2CO groups, resp. are linked to the 6 position of the benzimidazole ring; R = substituted Ph, pyridyl, naphthyl, quinolinyl, quinoxalinyl, thienyl, benzo[b]thienyl, or R1R2Y-; Y is a straight-chained or branched disubstituted alkyl of 1-8 carbons, or Y is N; R1 and R2 independently are H, a straight-chained or branched di- or trisubstituted alkyl, etc. (addnl. details including provisos are given in the claims); e.g. 3-[2-[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-ylmethanesulfonyl]benzimidazole-1-sulfonyl]benzoic acid (V)), prodrugs of proton pump inhibitors, have improved aq. soly. and bioavailability and can be used in combination with known anti-ulcer drugs. Data regarding aq. soly., stability in buffers, stability in plasma and inhibition of gastric acid secretion in rats (oral and i.v. administration) are provided for some examples of I-IV. Although the methods of prepn. are not claimed, example prepns. for .apprx.50 I-IV and many intermediates are included. For example, V was prepd. in 4 steps (53, 80, 94 % yields for steps 1-3) starting from 3-chlorosulfonylbenzoic acid and 2-(3-nitrobenzenesulfonyl)ethanol and involving intermediates 3-chlorosulfonylbenzoic acid 2-(3-nitrobenzenesulfonyl)ethyl ester, 3-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid 2-(3-nitrobenzenesulfonyl)ethyl ester and the Na salt of V.

IT **651728-11-9P**, 3-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-23-3P**, 2-[4-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]butyric acid sodium salt **651729-84-9P**,

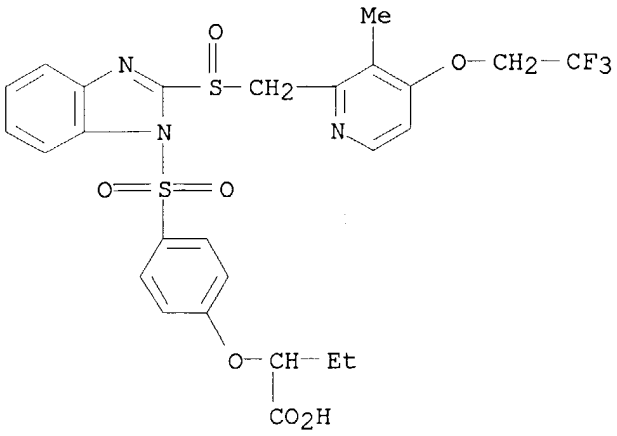
2-[4-[[6-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aq. soly. and bioavailability for use as anti-ulcer agents)

RN 651728-11-9 CAPLUS
CN Benzoic acid, 3-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-, sodium salt (9CI) (CA INDEX NAME)



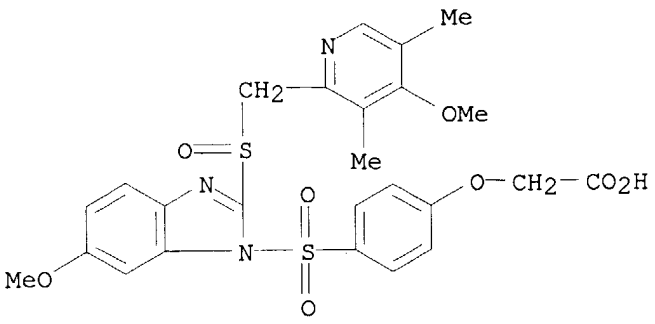
● Na

RN 651728-23-3 CAPLUS
CN Butanoic acid, 2-[4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 651729-84-9 CAPLUS
CN Acetic acid, [4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

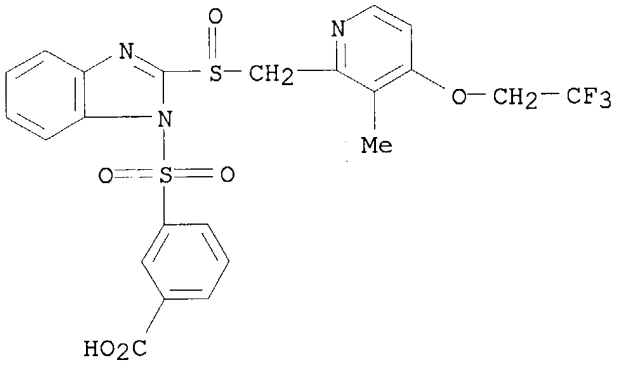


IT **651728-10-8P**, 3-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid **651728-15-3P**, [4-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-18-6P**, 2-Methoxy-5-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-22-2P**, 2-[4-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]butyric acid **651728-27-7P**, [3,5-Dimethyl-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-32-4P**, 6-[[2-[3,5-Dimethyl-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetyl]amino]hexanoic acid sodium salt **651728-36-8P**, 6-[[2-[4-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetyl]amino]hexanoic acid **651728-38-0P**, [4-[[2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-41-5P**, [4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-42-6P**, [4-[[6-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-48-2P**, 4-[[2-[3-Isopropyl-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetyl]amino]butyric acid sodium salt **651728-52-8P**, [2-Carboxymethoxy-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid disodium salt **651728-57-3P** **651728-60-8P**, 2-Methoxy-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-61-9P**, 2-Methoxy-5-[[6-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-66-4P**, [2-Carboxymethoxy-4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid disodium salt **651728-67-5P**, [2-Carboxymethoxy-4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid disodium salt **651728-70-0P**, [4-[[5-Difluoromethoxy-2-[[(3,4-dimethoxypyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium

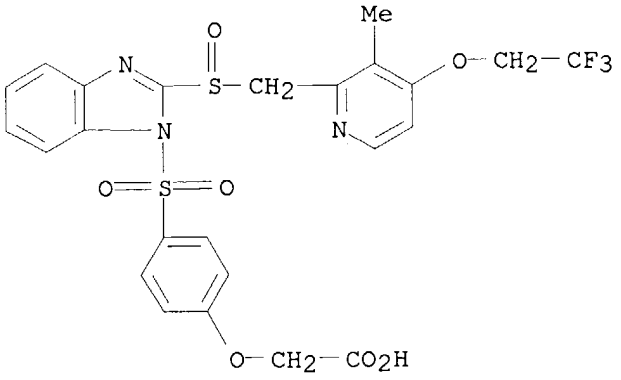
salt **651728-71-1P**, [4-[[6-Difluoromethoxy-2-[[(3,4-dimethoxypyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-75-5P**, 3-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-76-6P**, 3-[[6-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-79-9P**, 3-[[5-Difluoromethoxy-2-[[(3,4-dimethoxypyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-80-2P**, 3-[[6-Difluoromethoxy-2-[[(3,4-dimethoxypyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-82-4P**, 3-[[2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651728-86-8P**, 3-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt **651728-87-9P**, 3-[[6-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt **651728-89-1P**, 3-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt **651728-91-5P**, 3-[[2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt **651728-94-8P**, 3-[[5-Difluoromethoxy-2-[[(3,4-dimethoxypyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt **651728-95-9P**, 3-[[6-Difluoromethoxy-2-[[(3,4-dimethoxypyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt **651728-96-0P**, [3,5-Dimethyl-4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-97-1P**, [3,5-Dimethyl-4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651728-99-3P**, [3,5-Dimethyl-4-[[2-[[[4-(3-methoxypropoxy)-3-methylpyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651729-04-3P**, 3-[2-Methoxy-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propionic acid sodium salt **651729-05-4P**, 3-[2-Methoxy-5-[[6-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propionic acid sodium salt **651729-07-6P**, 3-[2-Methoxy-5-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propionic acid sodium salt **651729-13-4P**, [[3-Isopropyl-4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-5-methylphenyl]oxy]acetic acid sodium salt **651729-14-5P**, [[3-Isopropyl-4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-5-methylphenyl]oxy]acetic acid sodium salt **651729-17-8P**, [[4-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-3-isopropyl-5-methylphenyl]oxy]acetic acid sodium salt **651729-22-5P**, 2-(Carboxymethoxy)-5-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid disodium salt **651729-25-8P**, 2-(Carboxymethoxy)-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid disodium salt **651729-27-0P**, 2-(Carboxymethoxy)-5-[[6-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid disodium salt **651729-36-1P**, [4-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid **651729-50-9P**, 3-[[4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-3,5-dimethylphenyl]oxy]-

2,2-dimethylpropionic acid sodium salt **651729-53-2P**, [4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid **651729-69-0P**, 4-Methoxy-3-[[5-methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651729-78-1P**, 3-[4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]-2,2-dimethylpropionic acid sodium salt **651729-92-9P**, 3-[4-[[5-Methoxy-2-[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propanoic acid sodium salt **651729-94-1P**, [3-Isopropyl-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt **651729-95-2P**, 4-Methoxy-3-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt **651729-96-3P**, 3-[4-[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propionic acid sodium salt **651729-97-4P**, 2,2-Dimethyl-3-[4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)pyridin-2-yl]methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]propionic acid sodium salt
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aq. soly. and bioavailability for use as anti-ulcer agents)

RN 651728-10-8 CAPLUS
CN Benzoic acid, 3-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]- (9CI) (CA INDEX NAME)

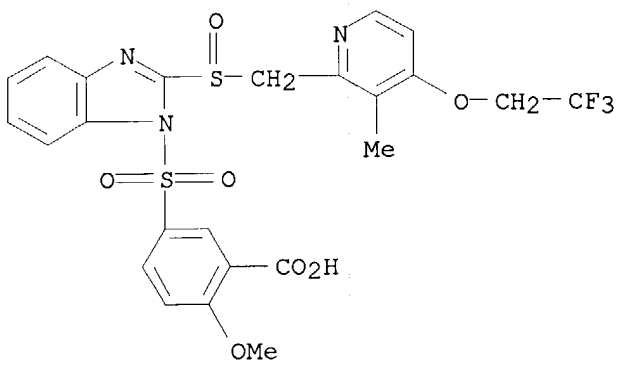


RN 651728-15-3 CAPLUS
CN Acetic acid, [4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



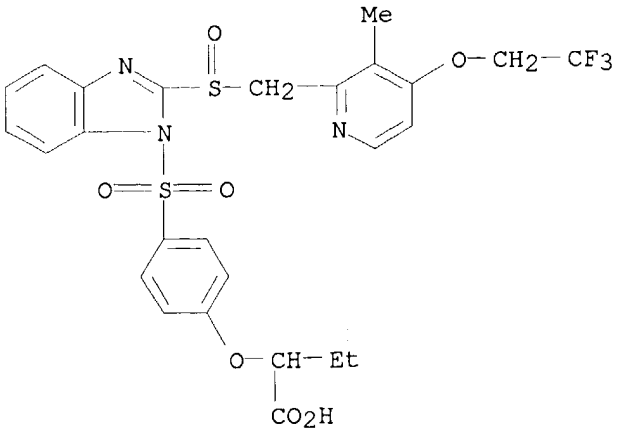
● Na

RN 651728-18-6 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-, sodium salt (9CI) (CA INDEX NAME)

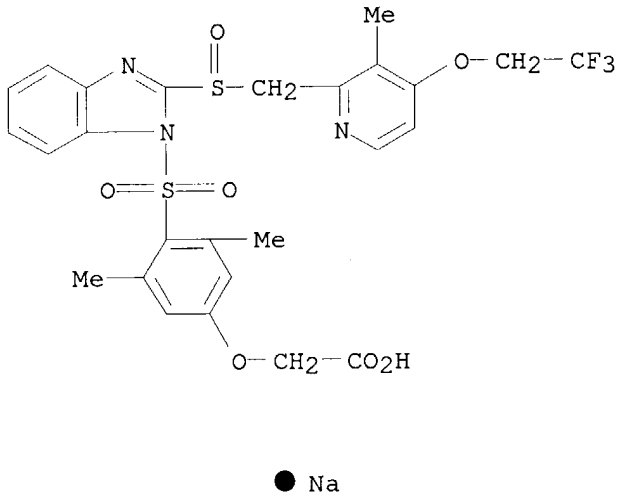


● Na

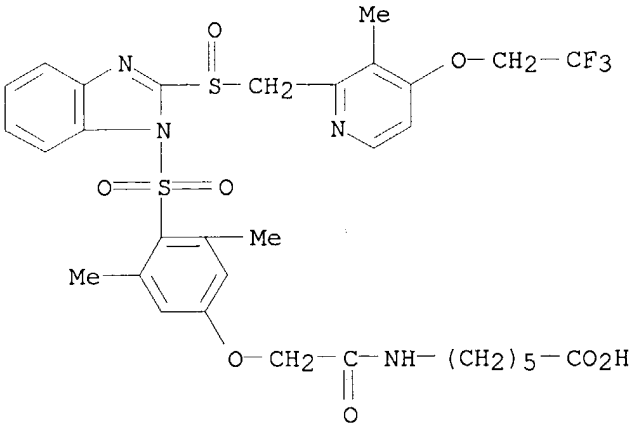
RN 651728-22-2 CAPLUS
CN Butanoic acid, 2-[4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 651728-27-7 CAPLUS
CN Acetic acid, [3,5-dimethyl-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)

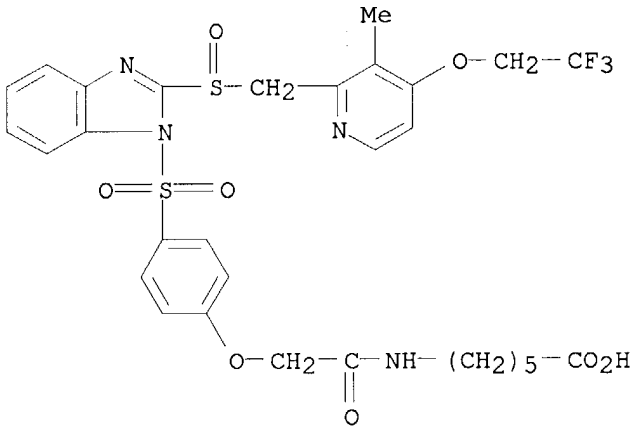


RN 651728-32-4 CAPLUS
CN Hexanoic acid, 6-[[[3,5-dimethyl-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]acetyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

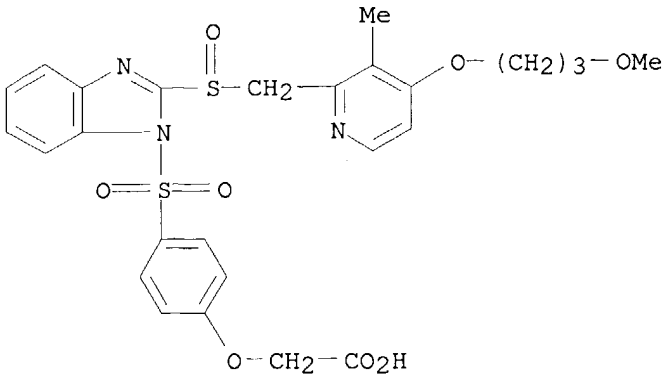


● Na

RN 651728-36-8 CAPLUS
CN Hexanoic acid, 6-[[[4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]acetyl]amino]- (9CI) (CA INDEX NAME)

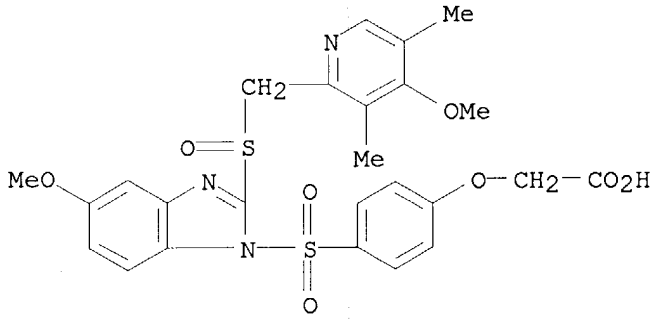


RN 651728-38-0 CAPLUS
CN Acetic acid, [4-[[2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



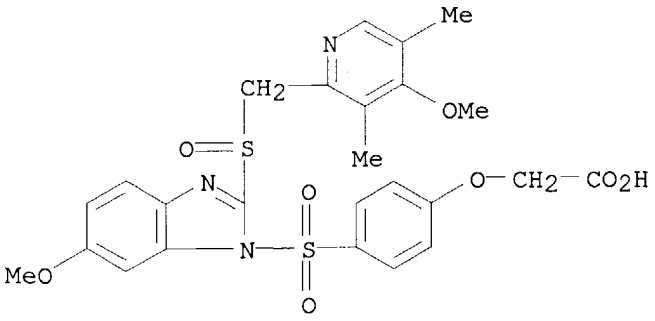
● Na

RN 651728-41-5 CAPLUS
CN Acetic acid, [4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



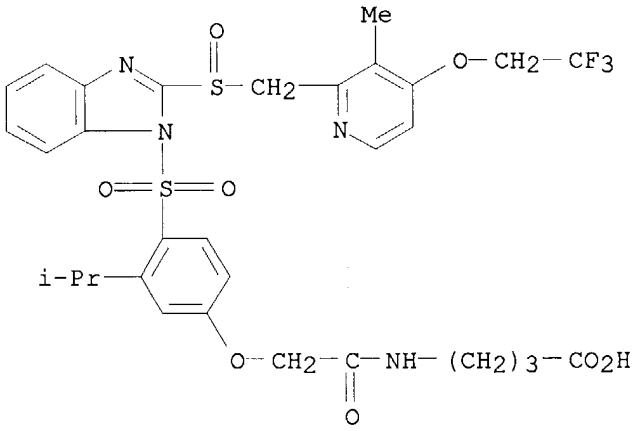
● Na

RN 651728-42-6 CAPLUS
CN Acetic acid, [4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium salt (9CI) (CA INDEX NAME)



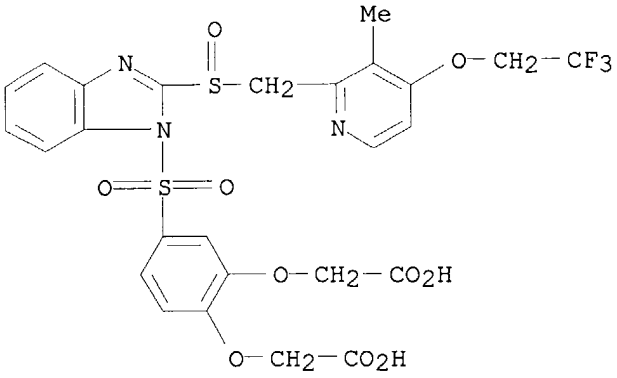
● Na

RN 651728-48-2 CAPLUS
CN Butanoic acid, 4-[[[3-(1-methylethyl)-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]acetyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

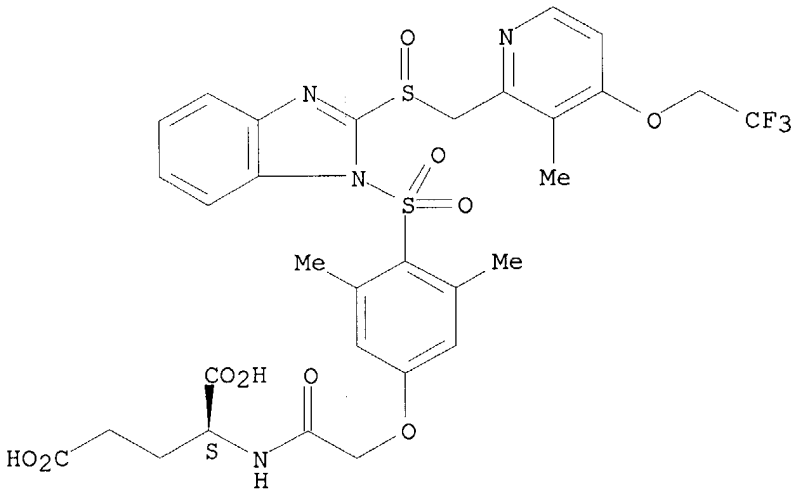
RN 651728-52-8 CAPLUS
CN Acetic acid, 2,2'-[[4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-1,2-phenylene]bis(oxy)]bis-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

RN 651728-57-3 CAPLUS
CN L-Glutamic acid, N-[[3,5-dimethyl-4-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]acetyl]-, monosodium salt (9CI) (CA INDEX NAME)

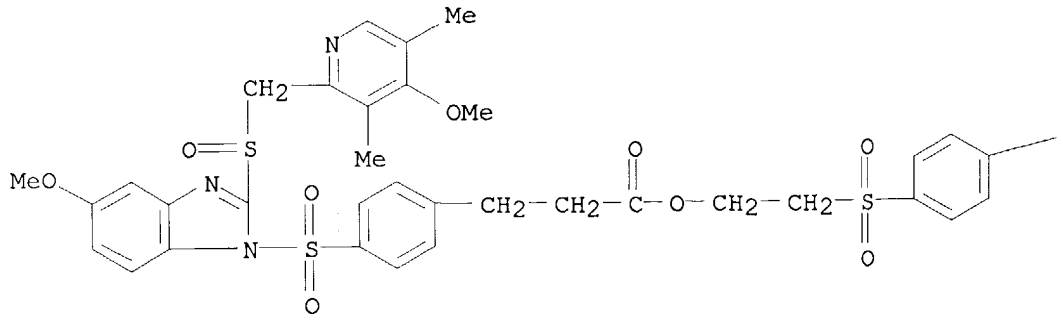
Absolute stereochemistry.



● Na

RN 651728-60-8 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-, sodium salt (9CI) (CA INDEX NAME)

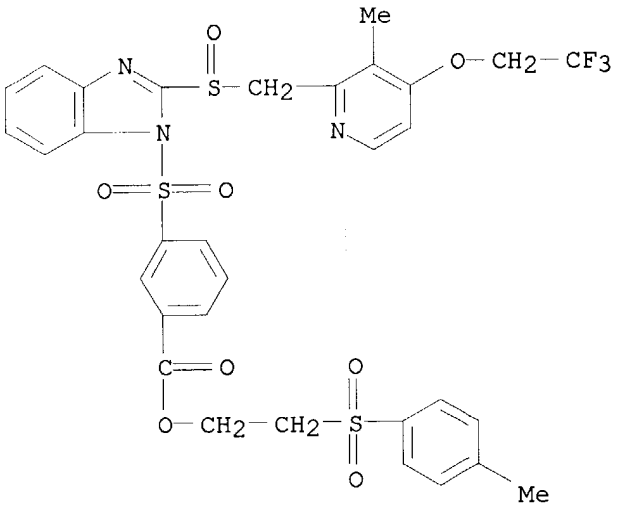
PAGE 1-A



PAGE 1-B

Me

RN 651729-93-0 CAPLUS
CN Benzoic acid, 3-[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-, 2-[(4-methylphenyl)sulfonyl]ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:348788 CAPLUS
DOCUMENT NUMBER: 138:353993
TITLE: Preparation of benzimidazole derivatives as prodrugs of proton pump inhibitors
INVENTOR(S): Garst, Michael E.; Sachs, George; Shin, Jai Moo
PATENT ASSIGNEE(S): Regents of the University of California, USA; The United States Department of Veteran Affairs; Winston

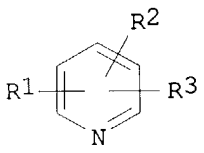
Pharmaceuticals, LLC
SOURCE: U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6559167	B1	20030506	US 2001-783807	20010214
US 6093734	A	20000725	US 1998-131481	19980810
TR 200100431	T2	20010621	TR 2001-200100431	19990809
ES 2192394	T3	20031001	ES 1999-942057	19990809
ZA 2001000560	A	20010713	ZA 2001-560	20010119

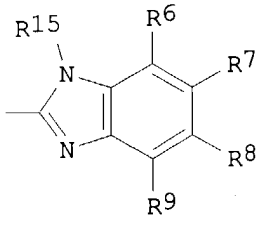
PRIORITY APPLN. INFO.: US 1998-131481 A2 19980810
US 1999-364381 B2 19990729

OTHER SOURCE(S): MARPAT 138:353993

GI



II



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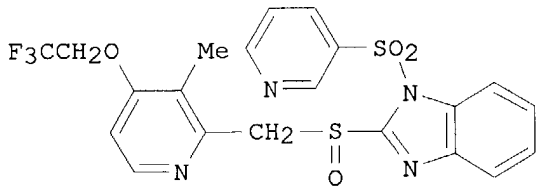

IV

AB The title compds. Het1XSOHet2 [I; Het1 = II; X = CHR10; Het2 = III; R1-R3 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R15 = SO2R21(R17); R17 = alkyl, haloalkyl, alkoxy, etc.; R21 = (un)substituted aralkyl, heteroarylalkyl] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen, were prepd. Thus, reacting 2-({[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl}sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title compd. IV. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid

secretion (e.g., ulcers). Biol. data for compds. I were given.

IT **259182-45-1P 259182-47-3P 259182-49-5P**
259182-51-9P 259182-53-1P 259182-54-2P
259182-55-3P 259182-56-4P 259182-57-5P
259182-58-6P 259182-59-7P 259182-60-0P
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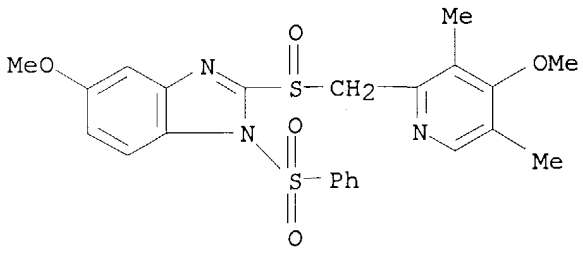
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

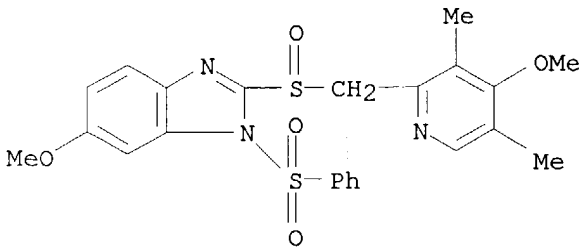
(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)

RN 259182-45-1 CAPLUS

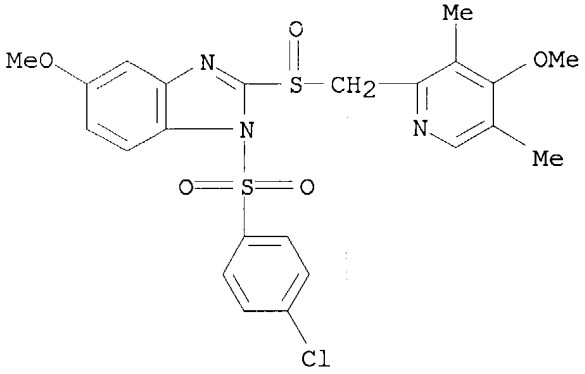
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



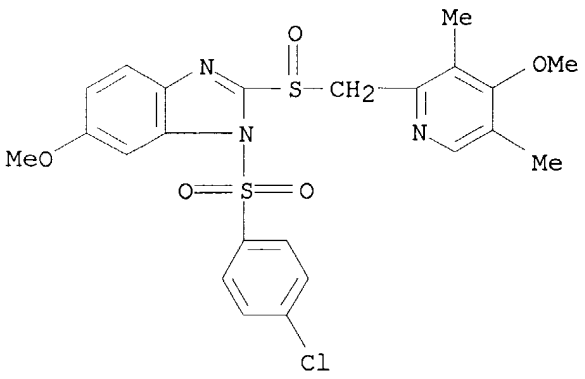
RN 259182-47-3 CAPLUS
CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



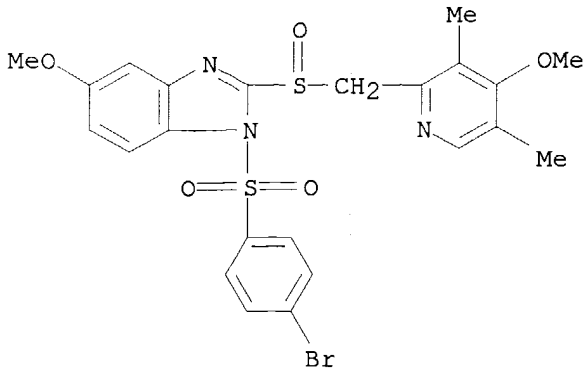
RN 259182-49-5 CAPLUS
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



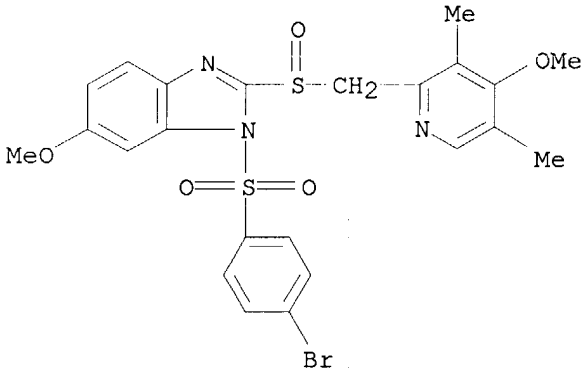
RN 259182-51-9 CAPLUS
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



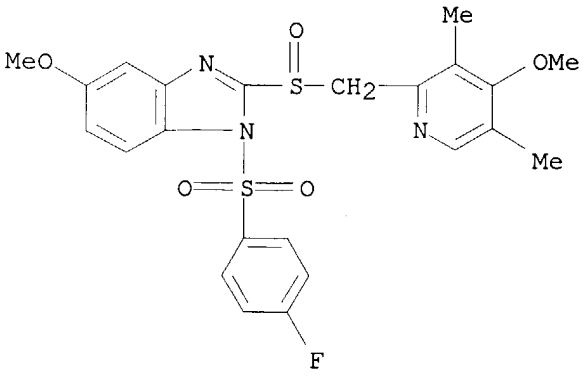
RN 259182-53-1 CAPLUS
CN 1H-Benzimidazole, 1-[(4-bromophenyl)sulfonyl]-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



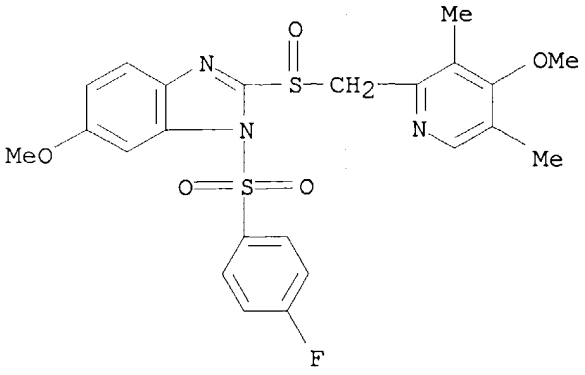
RN 259182-54-2 CAPLUS
CN 1H-Benzimidazole, 1-[(4-bromophenyl)sulfonyl]-6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



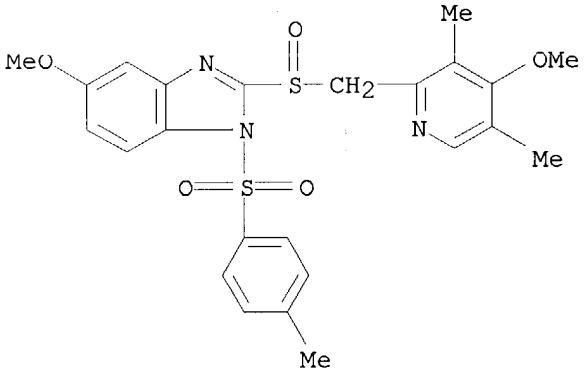
RN 259182-55-3 CAPLUS
CN 1H-Benzimidazole, 1-[(4-fluorophenyl)sulfonyl]-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



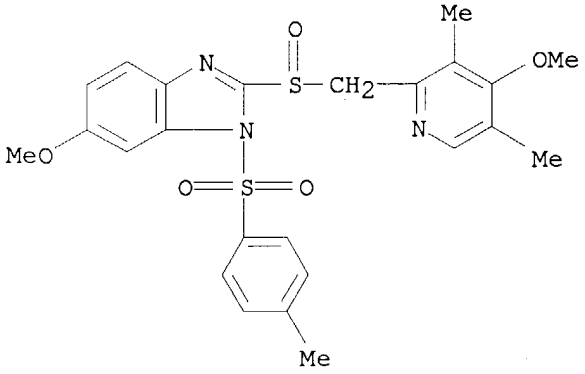
RN 259182-56-4 CAPLUS
CN 1H-Benzimidazole, 1-[(4-fluorophenyl)sulfonyl]-6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



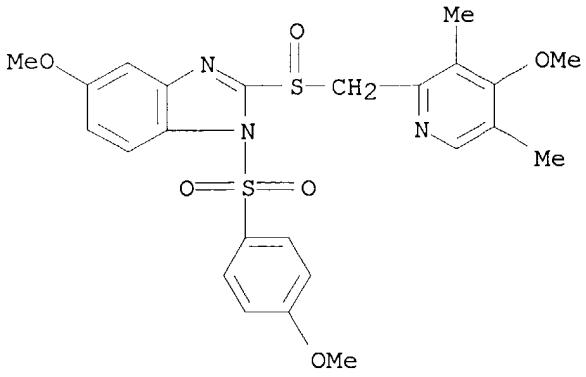
RN 259182-57-5 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



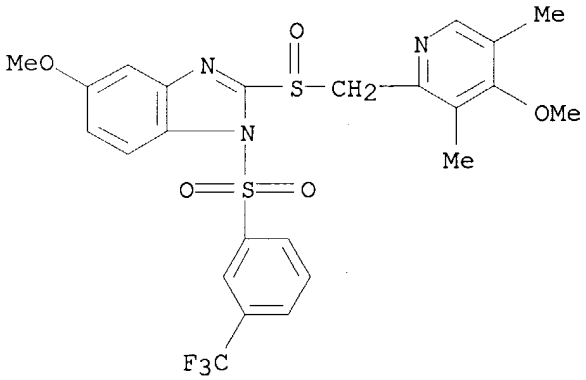
RN 259182-58-6 CAPLUS
CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



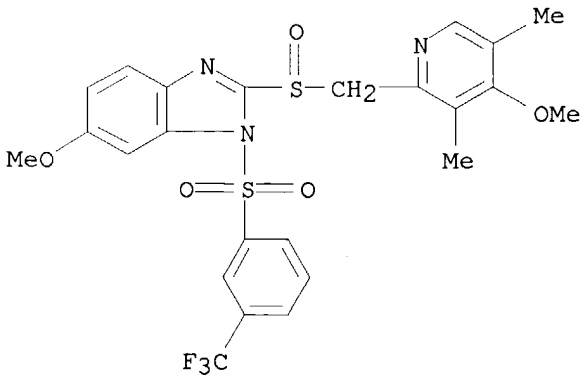
RN 259182-59-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 259182-60-0 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

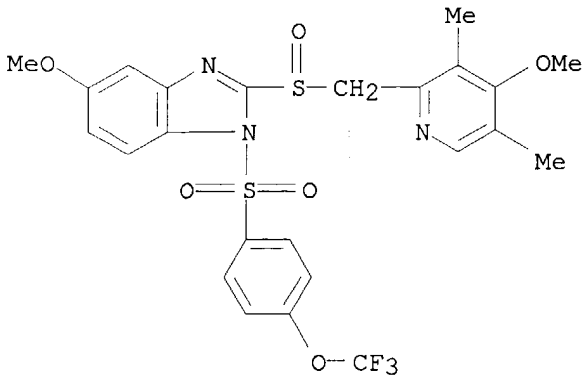


RN 259182-61-1 CAPLUS
CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-[[3-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

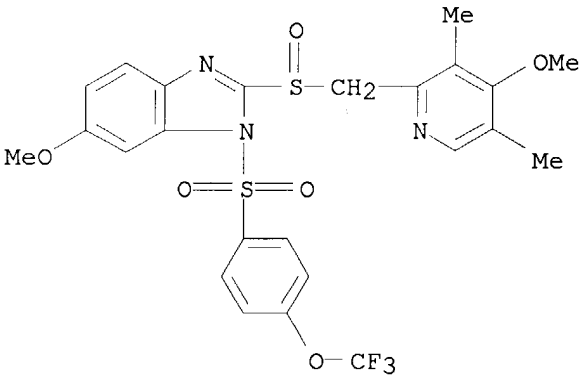


RN 259182-62-2 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-

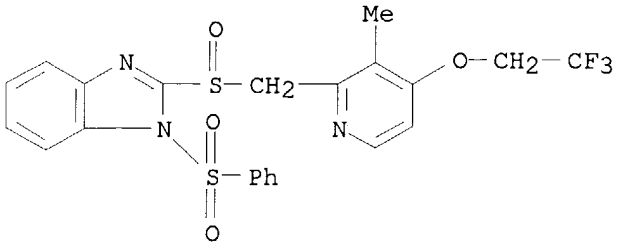
pyridinyl)methyl]sulfinyl]-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



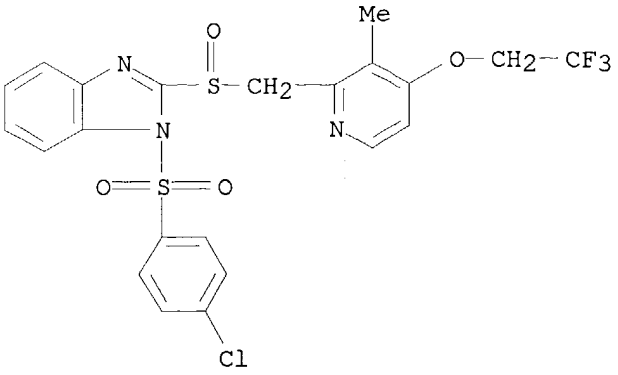
RN 259182-63-3 CAPLUS
CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



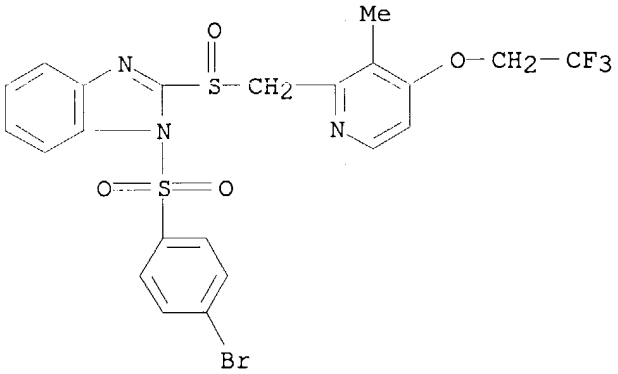
RN 259182-64-4 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



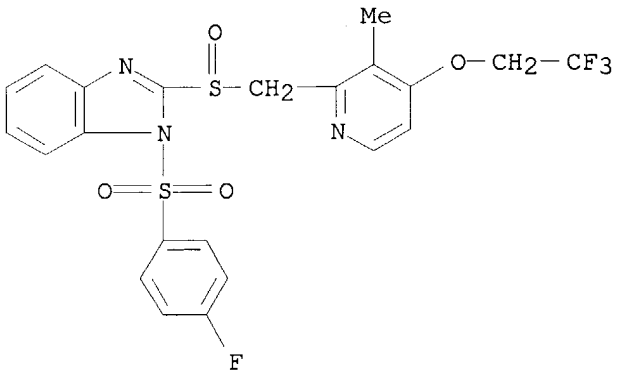
RN 259182-65-5 CAPLUS
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 259182-66-6 CAPLUS
CN 1H-Benzimidazole, 1-[(4-bromophenyl)sulfonyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



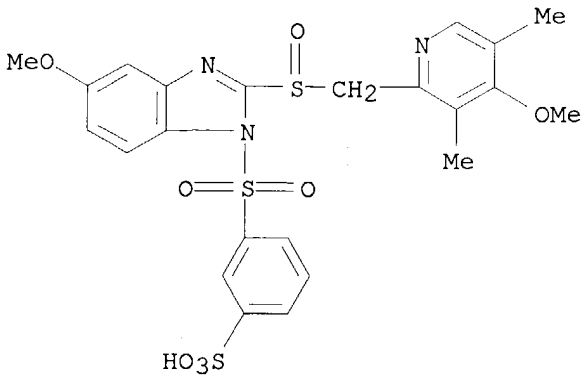
RN 259182-67-7 CAPLUS
CN 1H-Benzimidazole, 1-[(4-fluorophenyl)sulfonyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



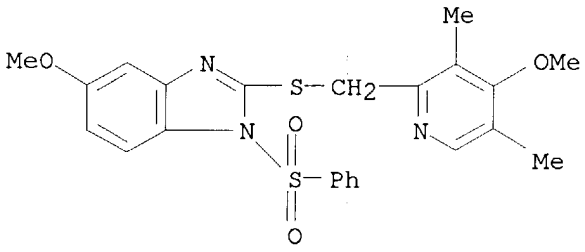
RN 259182-68-8 CAPLUS
CN 1H-Benzimidazole, 1-[(4-methylphenyl)sulfonyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

CM 2

CRN 521093-85-6
CMF C23 H23 N3 O8 S3



IT **259183-92-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)
RN 259183-92-1 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:133673 CAPLUS
DOCUMENT NUMBER: 132:180572
TITLE: Preparation of benzimidazole derivatives as prodrugs of proton pump inhibitors
INVENTOR(S): Garst, Michael E.; Sachs, George; Shin, Jai Moo
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000009498 A1 20000224 WO 1999-US18048 19990809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6093734	A	20000725	US 1998-131481	19980810	
CA 2338311	AA	20000224	CA 1999-2338311	19990809	
AU 9955518	A1	20000306	AU 1999-55518	19990809	
AU 752292	B2	20020912			
BR 9912937	A	20010508	BR 1999-12937	19990809	
EP 1105387	A1	20010613	EP 1999-942057	19990809	
EP 1105387	B1	20030129			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200100431	T2	20010621	TR 2001-20010043	19990809	
NZ 510180	A	20021126	NZ 1999-510180	19990809	
AT 231857	E	20030215	AT 1999-942057	19990809	
ES 2192394	T3	20031001	ES 1999-942057	19990809	
JP 2003534232	T2	20031118	JP 2000-564950	19990809	
ZA 2001000560	A	20010713	ZA 2001-560	20010119	
BG 105191	A	20011231	BG 2001-105191	20010126	
FI 2001000248	A	20010209	FI 2001-248	20010209	
NO 2001000693	A	20010305	NO 2001-693	20010209	
HR 2001000106	A1	20020228	HR 2001-106	20010209	
HK 1035368	A1	20030919	HK 2001-105985	20010824	

PRIORITY APPLN. INFO.: US 1998-131481 A 19980810
US 1999-364381 A 19990729
WO 1999-US18048 W 19990809

OTHER SOURCE(S): MARPAT 132:180572
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. Het1XSOHet2 [I; Het1 = II-III; X = CHR10, IV, V, etc.; Het2 = VI-VIII (where N in the benzimidazole moiety represents that one of the ring carbons may be exchanged for an unsubstituted N atom); R1-R3 = H, alkyl, fluoroalkyl, etc.; R4, R5 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R10 may form an alkylene chain together with R3; R11, R12 = H, halo, alkyl, etc.; R15 = P(OR16)O2R16(R17), SOR16(R17), etc.; R16 = alkyl, morpholino, piperidino, etc.; R17 = alkyl, haloalkyl, alkoxy, etc.] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable sulfinyl or arylsulfonyl group attached to the benzimidazole nitrogen, or a group that forms a Mannich base with the benzimidazole nitrogen, were prepd. Thus, reacting 2-({[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl}sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title compd. IX. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention (I) under physiol. conditions allows for more effective

treatment of several diseases and conditions caused by gastric acid secretion (e.g., ulcers). Biol. data for compds. I were given.

IT **259182-45-1P 259182-47-3P 259182-49-5P**
259182-51-9P 259182-53-1P 259182-54-2P
259182-55-3P 259182-56-4P 259182-57-5P
259182-58-6P 259182-59-7P 259182-60-0P
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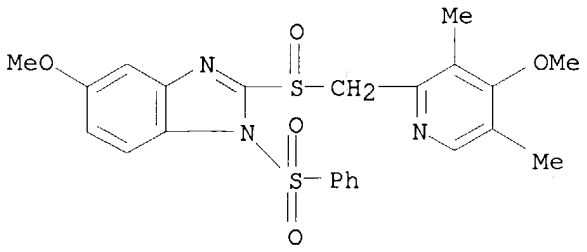
259183-82-9P 259184-59-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)

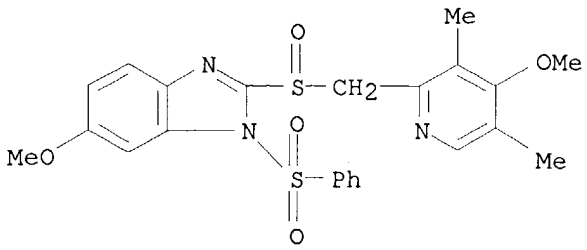
RN 259182-45-1 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

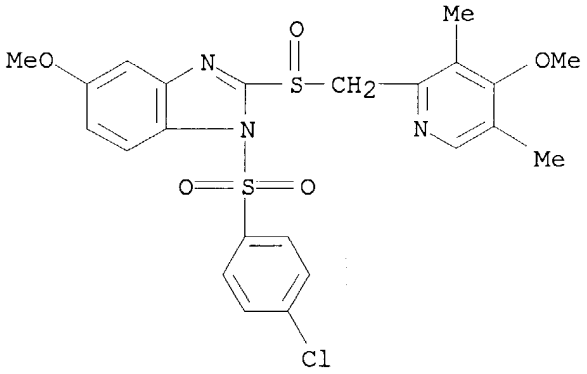


RN 259182-47-3 CAPLUS

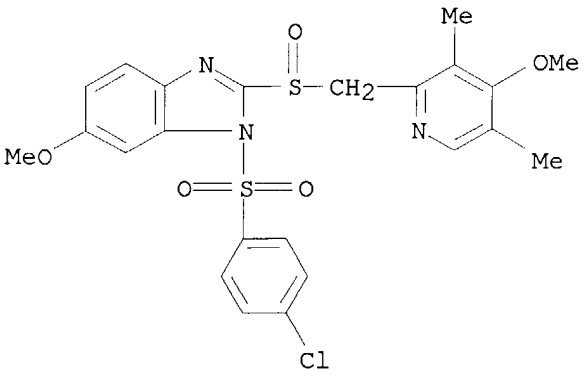
CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 259182-49-5 CAPLUS
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

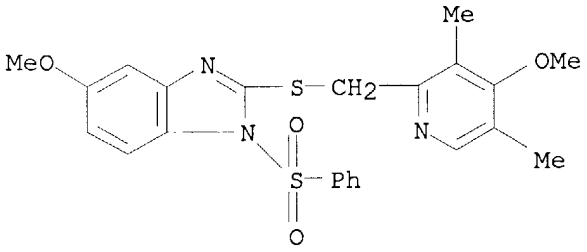


RN 259182-51-9 CAPLUS
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



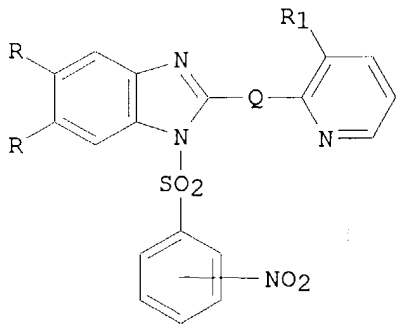
RN 259182-53-1 CAPLUS
CN 1H-Benzimidazole, 1-[(4-bromophenyl)sulfonyl]-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

IT **259183-92-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)
RN 259183-92-1 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:614111 CAPLUS
DOCUMENT NUMBER: 131:351274
TITLE: Synthesis and antiviral activity of some N-(benzenesulphonyl)benzimidazoles
AUTHOR(S): Garuti, Laura; Roberti, Marinella; Cermelli, Claudio
CORPORATE SOURCE: Department of Pharmaceutical Science, University of Bologna, Bologna, I-40126, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(17), 2525-2530
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



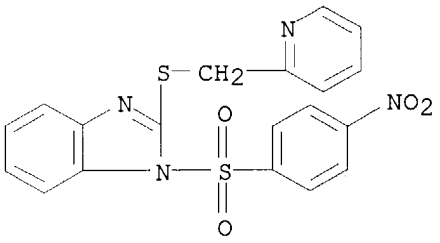
I

AB Some N-sulfonylated benzimidazoles, I [R = H, Cl, R1 = H, NO2, Z = (CH2)2, SCH2, S, NO2 position = 2,4], were synthesized as potential antiviral agents. I [R = R1 = H, Q = (CH2)2] and, to a lesser extent, I [R = Cl, R1 = H, Q = (CH2)2], showed activity against two RNA viruses at micromolar concns.

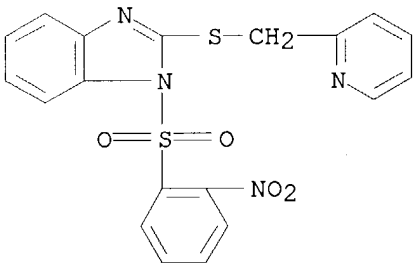
IT **250698-31-8P 250698-32-9P 250698-36-3P**
250698-37-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiviral activity of N-(benzenesulfonyl)benzimidazoles)

RN 250698-31-8 CAPLUS
CN 1H-Benzimidazole, 1-[(4-nitrophenyl)sulfonyl]-2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



RN 250698-32-9 CAPLUS
CN 1H-Benzimidazole, 1-[(2-nitrophenyl)sulfonyl]-2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



RN 250698-36-3 CAPLUS
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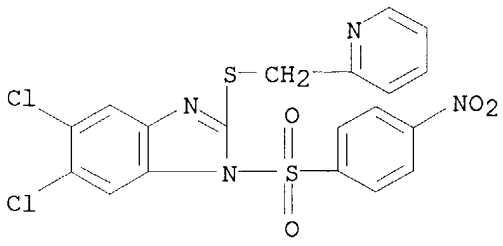

RN 250698-37-4 CAPLUS
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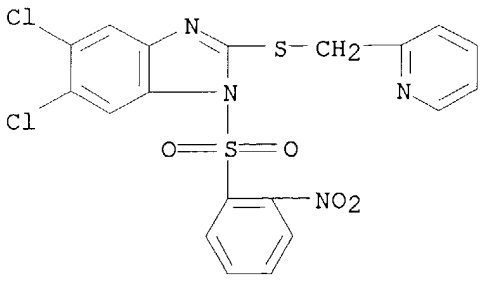

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 11:36:19 ON 03 JUN 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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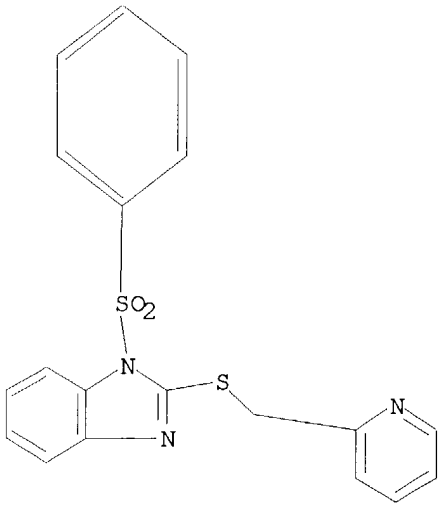

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L5 ANSWER 1 OF 2 USPATFULL on STN
ACCESSION NUMBER: 2003:123354 USPATFULL
TITLE: Prodrugs of proton pump inhibitors
INVENTOR(S): Garst, Michael E., Newport Beach, CA, United States
Sachs, George, Encino, CA, United States
Shin, Jai Moo, Northridge, CA, United States

PATENT ASSIGNEE(S): Regents of the University of California, Oakland, CA, United States (U.S. corporation)
The United States of America as represented by the Department of Veteran Affairs, Washington, DC, United States (U.S. government)
Winston Pharmaceuticals, LLC, Newport Beach, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6559167	B1	20030506
APPLICATION INFO.:	US 2001-783807		20010214 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-364381, filed on 29 Jul 1999, now abandoned Continuation-in-part of Ser. No. US 1998-131481, filed on 10 Aug 1998, now patented, Pat. No. US 6093734
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Seaman, D. Margaret
ASSISTANT EXAMINER: Coppins, Janet
LEGAL REPRESENTATIVE: Szekeres, Gabor L.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 3110
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Prodrugs of the pyridyl methyl sulfinyl benzimidazole type proton pump inhibitor drugs have a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen. The prodrugs of the invention hydrolyze under physiological conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concentrations of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention under physiological conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **259182-45-1P 259182-47-3P 259182-49-5P**
259182-51-9P 259182-53-1P 259182-54-2P
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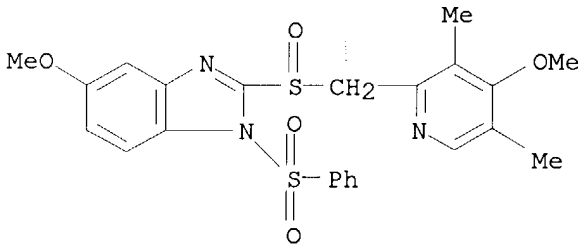
(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)

RN 259182-45-1 USPATFULL
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 259182-47-3 USPATFULL
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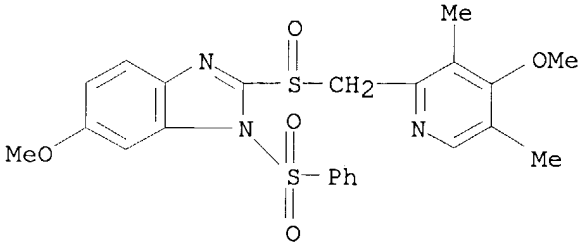
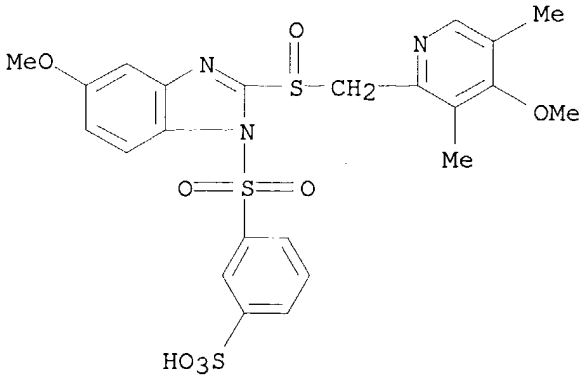


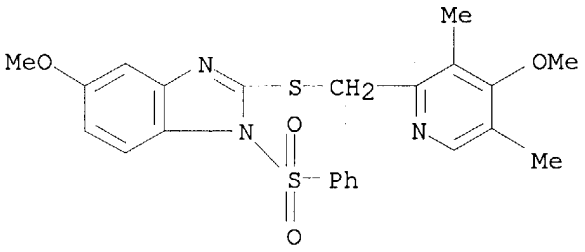
RN 259182-49-5 USPATFULL
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

CM 2

CRN 521093-85-6
CMF C23 H23 N3 O8 S3



IT **259183-92-1**
(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)
RN 259183-92-1 USPATFULL
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 2 USPATFULL on STN
ACCESSION NUMBER: 2000:95033 USPATFULL
TITLE: Prodrugs of proton pump inhibitors
INVENTOR(S): Garst, Michael E., Newport Beach, CA, United States
Sachs, George, Encino, CA, United States
Shin, Jai Moo, Northridge, CA, United States
PATENT ASSIGNEE(S): Partnership of Michael E. Garst, George Sachs, and Jai Moo Shin, Newport Beach, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6093734		20000725
APPLICATION INFO.:	US 1998-131481		19980810 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
LEGAL REPRESENTATIVE: Klein & Szekeres, LLP
NUMBER OF CLAIMS: 10

EXEMPLARY CLAIM: 1
LINE COUNT: 1002
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Prodrugs of the pyridyl methyl sulfinyl benzimidazole type proton pump inhibitor drugs have a hydrolyzable sulfinyl or arylsulfonyl group attached to the benzimidazole nitrogen, or include a group that forms a Mannich base with the benzimidazole nitrogen. The prodrugs of the invention hydrolyze under physiological conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concentrations of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention under physiological conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **259182-45-1P 259182-47-3P 259182-49-5P**
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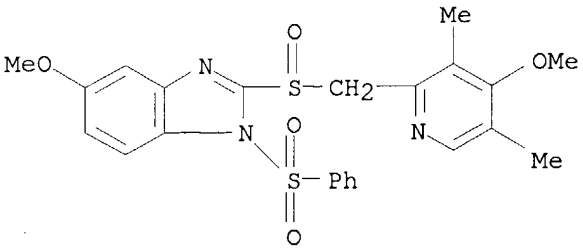
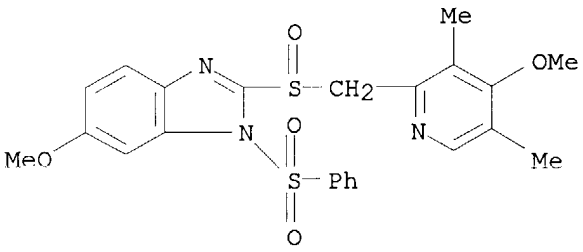
259182-79-1P 259182-80-4P 259182-81-5P
259182-82-6P 259182-83-7P 259182-84-8P
259182-85-9P 259182-86-0P 259182-87-1P
259182-93-9P 259182-94-0P 259182-95-1P
259182-96-2P 259182-97-3P 259182-98-4P
259182-99-5P 259183-00-1P 259183-01-2P
259183-02-3P 259183-03-4P 259183-04-5P
259183-05-6P 259183-06-7P 259183-07-8P
259183-08-9P 259183-09-0P 259183-10-3P
259183-11-4P 259183-23-8P 259183-24-9P
259183-25-0P 259183-27-2P 259183-28-3P
259183-29-4P 259183-31-8P 259183-32-9P
259183-33-0P 259183-34-1P 259183-35-2P
259183-36-3P 259183-37-4P 259183-38-5P
259183-39-6P 259183-40-9P 259183-41-0P
259183-42-1P 259183-43-2P 259183-44-3P
259183-45-4P 259183-46-5P 259183-47-6P
259183-52-3P 259183-53-4P 259183-54-5P
259183-55-6P 259183-56-7P 259183-57-8P
259183-58-9P 259183-59-0P 259183-60-3P
259183-61-4P 259183-62-5P 259183-63-6P
259183-64-7P 259183-65-8P 259183-66-9P
259183-67-0P 259183-72-7P 259183-81-8P
259183-82-9P 259184-59-3P
(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)

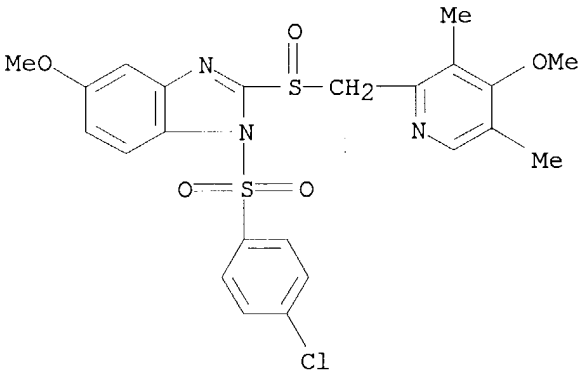
RN 259182-45-1 USPATFULL
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 259182-47-3 USPATFULL
CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 259182-49-5 USPATFULL
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 259182-51-9 USPATFULL
CN 1H-Benzimidazole, 1-[(4-chlorophenyl)sulfonyl]-6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)